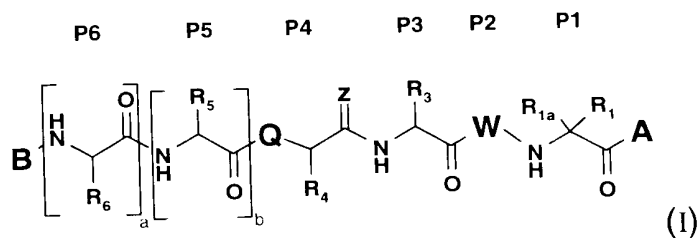


CLAIMS

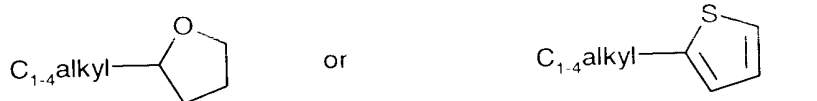
WHAT IS CLAIMED IS:

1. A compound of formula I including racemates, diastereoisomers and optical isomers:



wherein Q is CH₂ or N-Y wherein Y is H or C₁₋₆ alkyl;

a) when Q is CH₂, a is 0, b is 0, then B is an amide derivative of formula R_{11a}N(R_{11b})-C(O)- wherein R_{11a} is H; C₁₋₁₀ alkyl; C₆ aryl; C₇₋₁₀ alkylaryl; C₃₋₇ cycloalkyl or C₄₋₈ (alkylcycloalkyl) optionally substituted with carboxyl; or heterocycle-C₁₋₆ alkyl such as



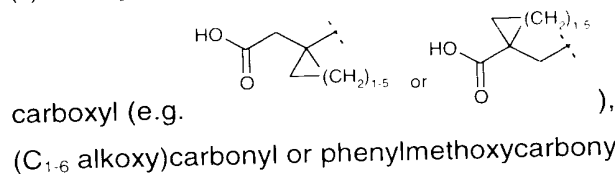
and R_{11b} is C₁₋₆ alkyl substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl or phenylmethoxycarbonyl; or C₇₋₁₆ aralkyl substituted on the aromatic portion with carboxyl, (C₁₋₆ alkoxy)carbonyl or phenylmethoxycarbonyl; or R_{11a} and R_{11b} are joined to form a 3 to 7-membered nitrogen-containing ring optionally substituted with carboxyl or (C₁₋₆ alkoxy) carbonyl; or

b) when Q is N-Y, a is 0 or 1, b is 0 or 1, then

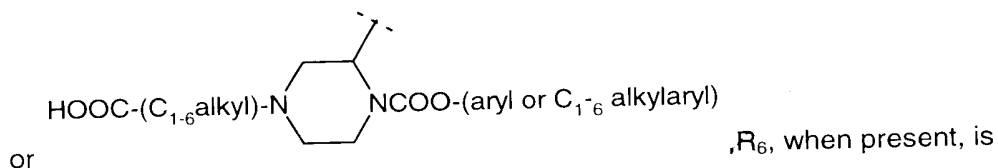
B is H, an acyl derivative of formula R₁₁-C(O)- or a sulfonyl of formula R₁₁-SO₂ wherein

R₁₁ is (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyloxy (e.g. AcOCH₂-), C₁₋₆ alkoxy (e.g. Boc), or carboxyl substituted with 1 to 3 C₁₋₆ alkyl substituents;

(ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, both optionally substituted with



- (iii) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, or amino optionally substituted with C_{1-6} alkyl; or
- (iv) Het optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, or amido optionally substituted with C_{1-6} alkyl,



C_{1-6} alkyl substituted with carboxyl;

R_5 , when present, is C_{1-6} alkyl optionally substituted with carboxyl;

or

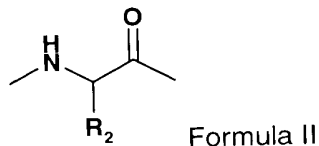
c) when Q is either CH_2 or $N-Y$, then

R_4 is C_{1-10} alkyl, C_{3-7} cycloalkyl or C_{4-10} (alkylcycloalkyl);

z is oxo or thioxo;

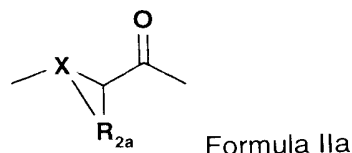
R_3 is C_{1-10} alkyl optionally substituted with carboxyl, C_{3-7} cycloalkyl or C_{4-10} (alkylcycloalkyl);

W is a group of formula II:



wherein R_2 is C_{1-10} alkyl or C_{3-10} cycloalkyl optionally substituted with carboxyl or an ester or amide thereof; C_6 or C_{10} aryl or C_{7-16} aralkyl; or

W is a group of formula IIa:



wherein X is CH or N; and

R_{2a} is divalent C_{3-4} alkylene which together with X and the carbon atom to which X and R_{2a} are attached form a 5- or 6-membered ring, said ring optionally substituted with OH; SH; NH_2 ; carboxyl; R_{12} ; CH_2-R_{12} ; OR_{12} ; $C(O)OR_{12}$; SR_{12} ; NHR_{12} or $NR_{12}R_{12a}$;

wherein R_{12} and R_{12a} are independently a saturated or unsaturated C_{3-7} cycloalkyl or C_{4-10} (alkyl cycloalkyl) being optionally mono-, di- or tri-

substituted with R_{15} ,

or R_{12} and R_{12a} is a C_6 or C_{10} aryl or C_{7-16} aralkyl optionally mono-, di- or tri-substituted with R_{15} , or R_{12} and R_{12a} is Het or (lower alkyl)-Het optionally mono-, di- or tri-substituted with R_{15} ,

wherein each R_{15} is independently C_{1-6} alkyl; C_{1-6} alkoxy; amino optionally mono- or di-substituted with C_{1-6} alkyl; sulfonyl; NO_2 ; OH; SH; halo; haloalkyl; amido optionally mono-substituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-16} aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); C_6 or C_{10} aryl, C_{7-16} aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with R_{16} ;

wherein R_{16} is C_{1-6} alkyl; C_{1-6} alkoxy; amino optionally mono- or di-substituted with C_{1-6} alkyl; sulfonyl; NO_2 ; OH; SH; halo; haloalkyl; carboxyl; amide; or (lower alkyl)amide;

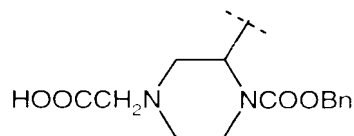
or X is CH or N; and R_{2a} is a divalent C_{3-4} alkylene which together with X and the carbon atom to which X and R_{2a} are attached form a 5- or 6-membered ring which in turn is fused with a second 5-, 6- or 7-membered ring to form a bicyclic system wherein the second ring is substituted with OR_{12a} , wherein R_{12a} is C_{7-16} aralkyl; R_{1a} is hydrogen, and R_1 is C_{1-6} alkyl optionally substituted with thiol or halo; or R_1 is C_{2-6} alkenyl; or

R_{1a} and R_1 together form a 3- to 6-membered ring optionally substituted with R_{14} wherein R_{14} is C_{1-6} alkyl, C_{3-5} cycloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_6 aryl or C_{7-10} aralkyl all optionally substituted with halo; and

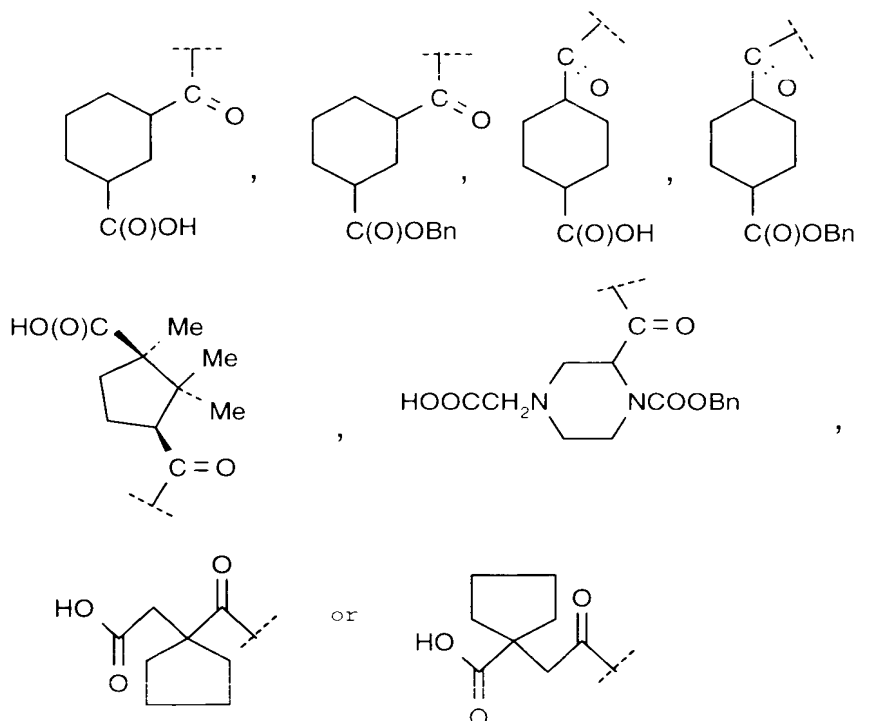
A is hydroxy or a N-substituted amino;

or a pharmaceutically acceptable salt or ester thereof.

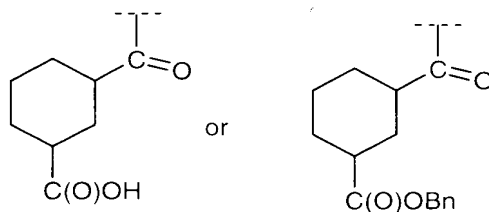
2. The compound of formula I according to claim 1, wherein B is an acyl derivative of formula $R_{11}C(O)-$ wherein R_{11} is preferably C_{1-6} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyloxy or C_{1-6} alkoxy; C_{3-7} cycloalkyl optionally substituted with carboxyl, $MeOC(O)$, $EtOC(O)$ or $BnOC(O)$; 3-carboxypropionyl (DAD); 4-carboxybutyryl (DAE); or



3. The compound of formula I according to claim 2, wherein B is acetyl, 3-carboxypropionyl (DAD), 4-carboxybutyryl (DAE),

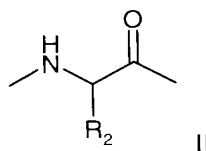


4. The compound of formula I according to claim 4, wherein B is acetyl, DAD, DAE,



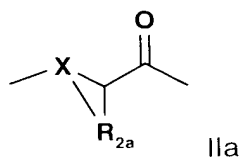
5. The compound of formula I according to claim 4, wherein B is acetyl.
6. The compound of formula I according to claim 1, wherein R_6 , when present, is the side chain of Asp or Glu.
7. The compound of formula I according to claim 6, wherein R_6 , when present, is the side chain of Asp.
8. The compound of formula I according to claim 7, wherein a is 0 and then R_6 is absent.
9. The compound of formula I according to claim 1, wherein R_5 , when present, is the side chain of an amino acid selected from the group consisting of: D-Asp, L-Asp, D-Glu, L-Glu, D-Val, L-Val, D-tert-butylglycine (Tbg), and L-Tbg.
10. The compound of formula I according to claim 9, wherein R_5 , when present, is the side chain of D-Asp, D-Val, or D-Glu.

11. The compound of formula I according to claim 10, wherein R_5 , when present, is the side chain of D-Glu.
12. The compound of formula I according to claim 1, wherein a is 0 and b is 0, and then both R_6 and R_5 are absent.
13. The compound of formula I according to claim 1, wherein R_4 is isopropyl, cyclohexyl, 1-methylpropyl, 2-methylpropyl or tert-butyl.
14. The compound of formula I according to claim 13, wherein R_4 is cyclohexyl or 1-methylpropyl.
15. The compound of formula I according to claim 14, wherein R_4 is cyclohexyl.
16. The compound of formula I according to claim 1, wherein z is oxo.
17. The compound of formula I according to claim 1, wherein R_3 is the side chain of an amino acid selected from the group consisting of: Ile, allo-Ile, Chg, cyclohexylalanine (Cha), Val, Tbg or Glu.
18. The compound of formula I according to claim 17, wherein R_3 is the side chain of Val, Tbg or Chg.
19. The compound of formula I according to claim 18, wherein R_3 is the side chain of Val.
20. The compound of formula I according to claim 1, wherein W is a group of formula II:

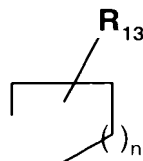


wherein R_2 is C_{1-8} alkyl; C_{1-8} alkyl substituted with carboxyl, C_{1-6} alkoxy carbonyl, benzyloxy carbonyl or benzylaminocarbonyl; C_{3-7} cycloalkyl or benzyl:

21. The compound of formula I according to claim 20, wherein R_2 is the side of chain of aminobutyric acid (Abu), Leu, Phe, Cha, Val, Ala, Asp, Glu, Glu(OBn), or Glu(NHBn).
22. The compound of formula I according to claim 21, wherein R_2 is the side chain of Asp, Abu or Val.
23. The compound of formula I according to claim 1, wherein W is a group of formula IIa:



wherein preferably, X is CH or N, and R_{2a} is a C_3 or C_4 alkylene that joins X to form a 5- or 6-membered ring of formula III:



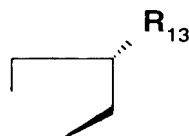
(III) R_{13} wherein

R_{2a} being optionally substituted at any position with R_{13} , wherein X is CH or N; n is 1 or 2, and R_{13} wherein R_{13} is S- R_{12} or O- R_{12} wherein R_{12} is a C_6 or C_{10} aryl, C_{7-16} aralkyl, Het or $-CH_2$ -Het, all optionally mono-, di- or tri-substituted with R_{15} ,

wherein R_{15} is C_{1-6} alkyl; C_{1-6} alkoxy; amino; mono- or di-(lower alkyl)amino; amido optionally mono-substituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-16} aralkyl, Het or (lower alkyl)-Het; NO_2 ; OH; halo; trifluoromethyl; carboxyl; C_6 or C_{10} aryl, C_{7-16} aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with R_{16} , and

wherein R_{16} is C_{1-6} alkyl; C_{1-6} alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; NO_2 ; OH; halo; trifluoromethyl; or carboxyl.

24. The compound of formula I according to claim 23, wherein R_{2a} is propyl joined to X wherein X is nitrogen to form a proline substituted with R_{13} as defined in claim 23.
25. The compound of formula I according to claim 24, wherein R_{2a} is the side chain of proline substituted at the 3-, 4-, or 5-position with R_{13} , wherein R_{13} is as defined in claim 24.
26. The compound of formula I according to claim 25, wherein R_{2a} is the side chain of proline substituted with R_{13} at the 4-position with the stereochemistry shown in formula IIIa:



(IIIa)

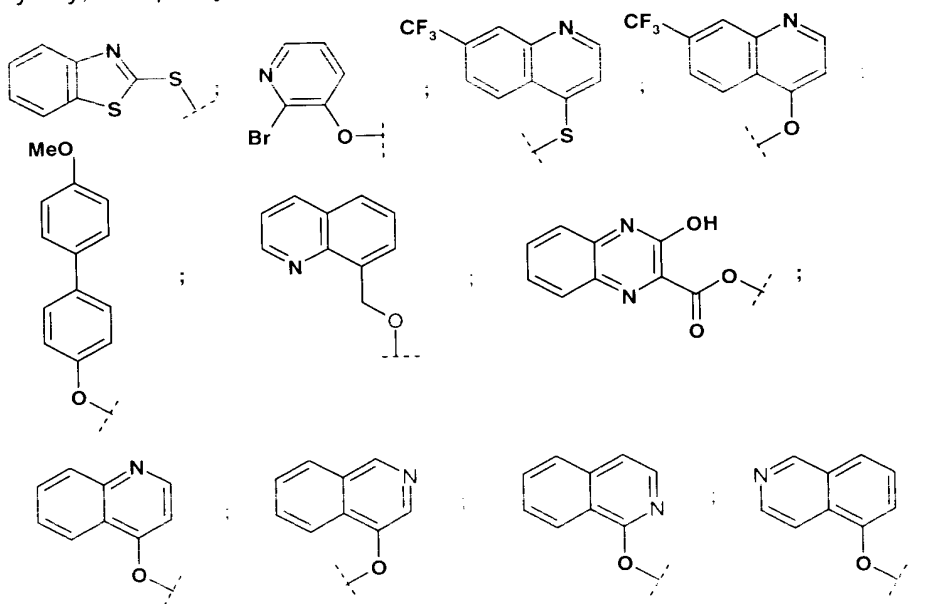
wherein R_{13} is S- R_{12} or O- R_{12} wherein R_{12} is a C_6 or C_{10} aryl, C_{7-16} aralkyl, Het or -

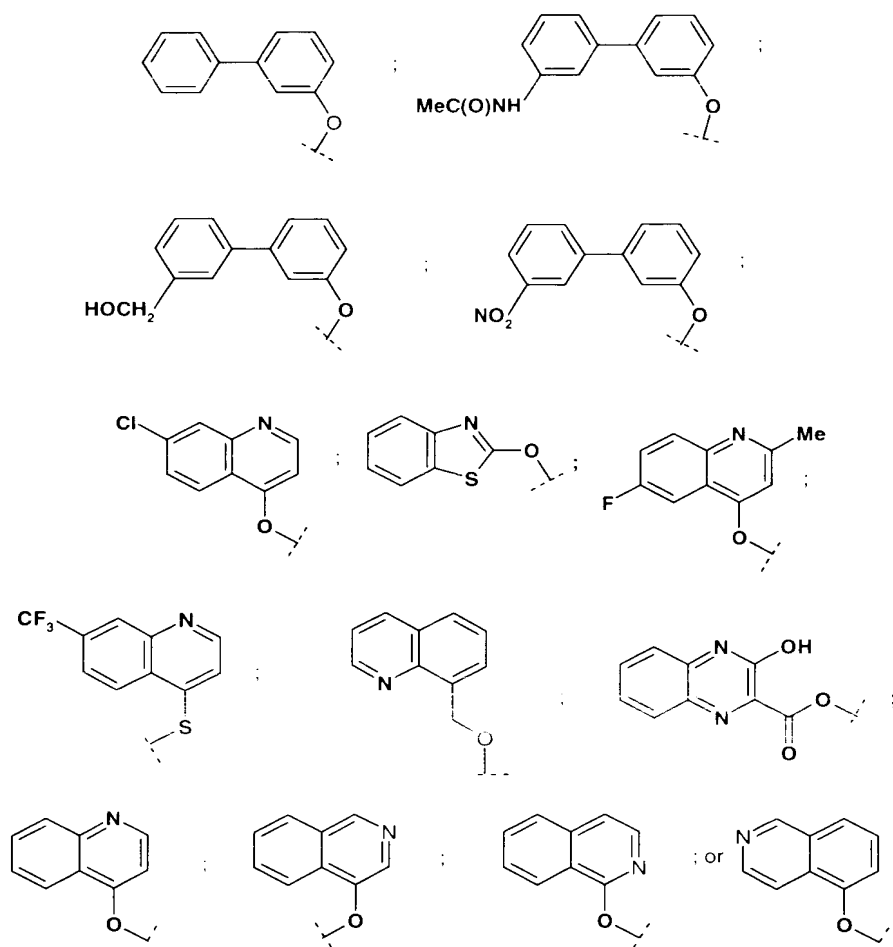
$\text{CH}_2\text{-Het}$, all optionally mono-, di- or tri-substituted with R_{15} ,

wherein R_{15} is C_{1-6} alkyl; C_{1-6} alkoxy; amino; di(lower alkyl)amino; (lower alkyl)amide; C_6 or C_{10} aryl, or Het, said aryl or Het being optionally substituted with R_{16} , and

R_{16} is C_{1-6} alkoxy; amino; di(lower alkyl)amino; (lower alkyl)amide; halo; or trifluoromethyl.

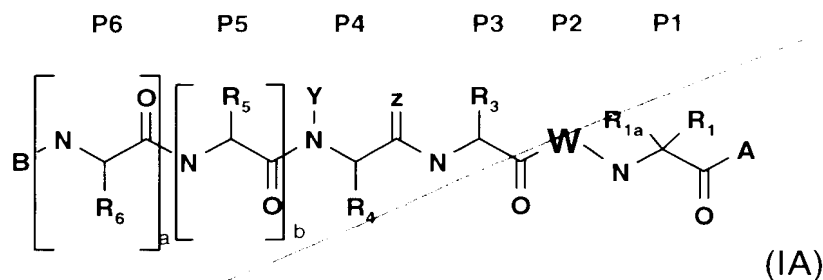
27. The compound of formula I according to claim 26, wherein R_{13} is o-tolylmethoxy; m-tolylmethoxy; p-tolylmethoxy; (4-tert-butyl)methoxy; (3I-Ph) CH_2O ; (4Br-Ph) O ; (2Br-Ph) O ; (3Br-Ph) O ; (4I-Ph) O ; (3Br-Ph) CH_2O ; (3,5-Br $_2$ -Ph) CH_2O ; or R_{13} is OR_{12} or SR_{12} wherein R_{12} is C_6 or C_{10} aryl, C_{7-16} aralkyl or Het, all optionally substituted with C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{1-6} alkoxy, acetamido, nitro, CF_3 , NH_2 , OH , SH , halo, carboxyl, carboxy(lower)alkyl or a second aryl or aralkyl.
28. The compound of formula I according to claim 27, wherein R_{13} is 1-naphthylmethoxy; 2-naphthylmethoxy; 1-naphthylmethoxy; 2-naphthylmethoxy;





29. The compound of formula I according to claim 1, wherein R_{1a} is hydrogen and R_1 is C_{1-6} alkyl optionally substituted with thiol.
30. The compound of formula I according to claim 29, wherein R_1 is the side chain of the amino acid selected from the group consisting of: cysteine (Cys), aminobutyric acid (Abu), norvaline (Nva), or allylglycine (AlGly).
31. The compound of formula I according to claim 30, wherein R_{1a} is H and R_1 is propyl.
32. The compound of formula I according to claim 1, wherein R_{1a} and R_1 together form a 3- to 6-membered ring, said ring being optionally substituted with R_{14} , wherein R_{14} is methyl, ethyl, propyl, vinyl, allyl, benzyl, phenylethyl or phenylpropyl, all of which optionally substituted with halo.
33. The compound of formula I according to claim 32, wherein R_{1a} and R_1 together form preferably a cyclopropyl optionally substituted with R_{14} as defined in claim 32.
34. The compound of formula I according to claim 33, wherein R_{14} is ethyl, propyl, vinyl,

- bromovinyl or allyl.
35. The compound of formula I according to claim 34, wherein R_{14} is ethyl, vinyl or bromovinyl.
36. The compound of formula I according to claim 1, wherein A is preferably hydroxy or a pharmaceutically acceptable salt or ester thereof; or C_{1-6} alkylamino, di(C_{1-6} alkyl)amino or phenyl- C_{1-6} alkylamino.
37. The compound of formula I according to claim 36, wherein A is hydroxy, or $N(R_{17a})R_{17b}$ wherein R_{17a} and R_{17b} are independently H, aryl or C_{1-6} alkyl optionally substituted with hydroxy or aryl.
38. The compound of formula I according to claim 37, wherein A is OH, NH-benzyl or NH-CH(Me)Ph.
39. The compound of formula I according to claim 38, wherein A is OH or NH-CH(Me)-phenyl.
40. A compound of formula (IA) including racemates, diastereoisomers and optical isomers:



wherein Y is H or C_{1-6} alkyl;

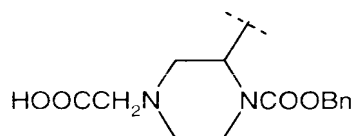
a is 0 or 1;

b is 0 or 1;

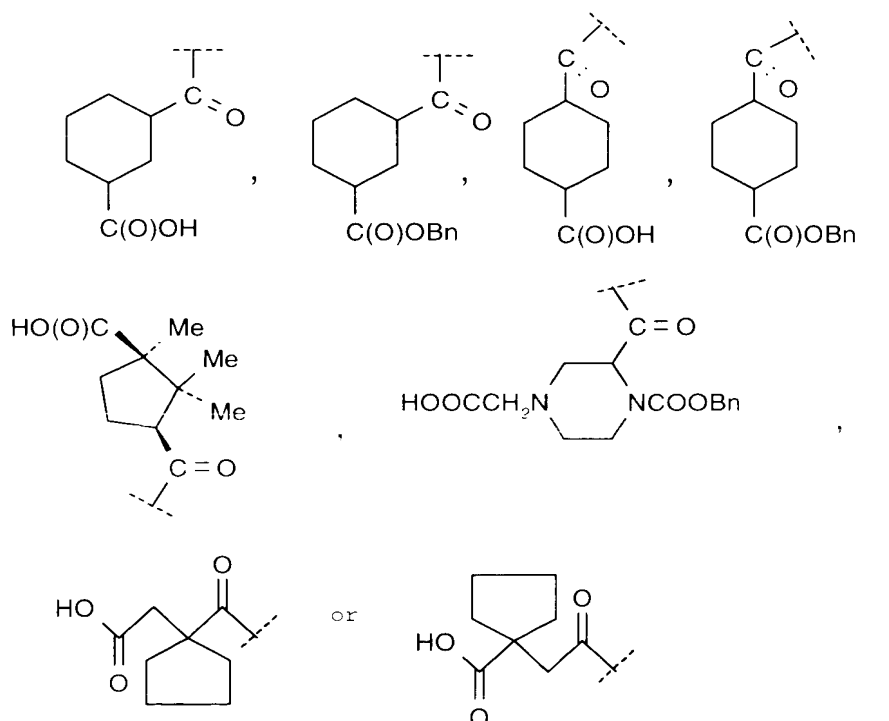
B is as defined in claim 1, paragraph b);

R_6 , R_5 , R_4 , z, R_3 , W, R_1 , R_{1a} and A are as defined in claim 1.

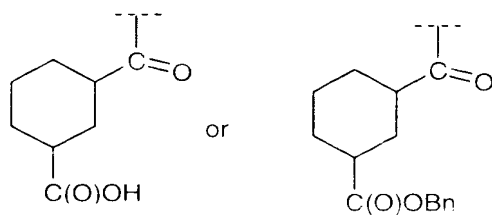
41. The compound of formula IA according to claim 40, wherein B is preferably an acyl derivative of formula $R_{11}C(O)-$ wherein R_{11} is preferably C_{1-6} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyloxy or C_{1-6} alkoxy; C_{3-7} cycloalkyl optionally substituted with carboxyl, MeOC(O), EtOC(O) or BnOC(O); 3-carboxypropionyl (DAD); 4-carboxybutyryl (DAE); or



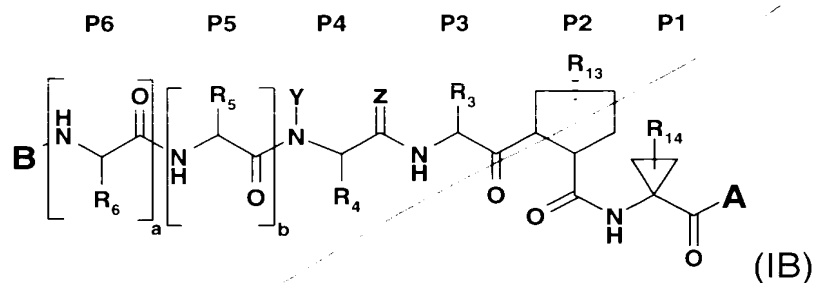
42. The compound of formula IA according to claim 41, wherein B is acetyl, 3-carboxypropionyl (DAD), 4-carboxylbutyryl (DAE),



43. The compound of formula IA according to claim 42, wherein B is acetyl, DAD, DAE,



44. The compound of formula IA according to claim 43, wherein B is acetyl.
 45. A compound of formula IB including racemates, diastereoisomers and optical isomers:



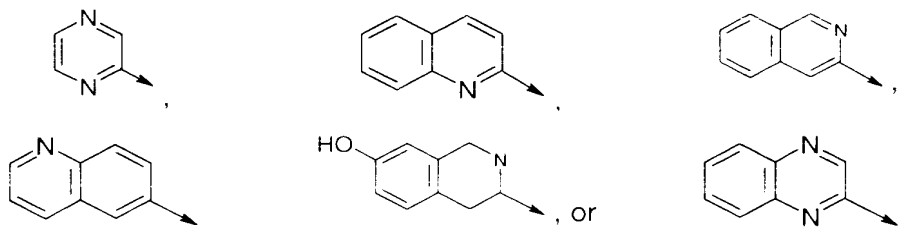
wherein

B, a, b, R₆, R₅, Y, R₄, Z, R₃, and A are as defined in claim 1,

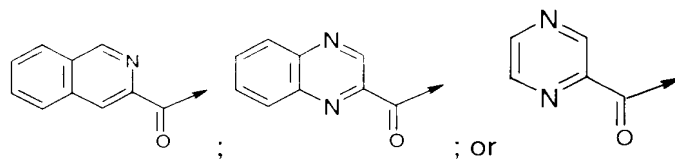
R₁₃ is R₁₂, OR₁₂, C(O)OR₁₂, SR₁₂, NHR₁₂ or NR₁₂R_{12a} wherein R₁₂ and R_{12a} are as defined in claim 1; and

R₁₄ is C₁₋₆ alkyl, C₂₋₆ alkenyl optionally substituted with halogen; C₆₋₁₀ aryl or C₇₋₁₀ aralkyl optionally substituted with halogen; or a pharmaceutically acceptable salt or ester thereof.

46. The compound of formula IB according to claim 45, wherein B is R₁₁-SO₂ wherein R₁₁ is C₆ or C₁₀ aryl, a C₇₋₁₆ aralkyl or Het all optionally substituted with C₁₋₆ alkyl.
47. The compound of formula IB according to claim 46, wherein B is H or an acyl derivative of formula R₁₁C(O)- wherein R₁₁ is C₁₋₆ alkyl; C₁₋₆ alkoxy; C₃₋₇ cycloalkyl optionally substituted with hydroxy; amido optionally substituted with C₁₋₆ alkyl or Het; C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl or Het all optionally substituted with C₁₋₆ alkyl or hydroxy.
48. The compound of formula IB according to claim 47, wherein B is H or R₁₁C(O)- wherein R₁₁ is C₁₋₆ alkyl,



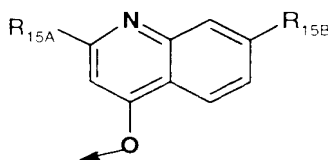
49. The compound of formula IB according to claim 48, wherein B is H; acetyl;



50. The compound of formula IB according to claim 45, wherein R₁₃ is o-tolylmethoxy;

m-tolylmethoxy; p-tolylmethoxy; (4-tert-butyl)methoxy; (3I-Ph)CH₂O; (4Br-Ph)O; (2Br-Ph)O; (3Br-Ph)O; (4I-Ph)O; (3Br-Ph)CH₂O; (3,5-Br₂-Ph)CH₂O; or R₁₃ is OR₁₂ or SR₁₂ wherein R₁₂ is C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl or Het, all optionally substituted with C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₁₋₆ alkoxy, acetalamido, nitro, CF₃, NH₂, OH, SH, halo, carboxyl, carboxy(lower)alkyl or a second aryl or aralkyl.

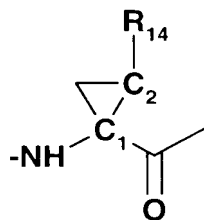
51. The compound of formula IB according to claim 50, wherein R₁₃ is 1-naphthyloxy; 2-naphthyloxy; 1-naphthylmethoxy; 2-naphthylmethoxy; 2-, 3-, 4-, or 6-quinolinoxy, all optionally substituted.
52. The compound of formula IB according to claim 51, wherein R₁₃ is 1-naphthyloxy; 2-naphthyloxy; 1-naphthylmethoxy; 2-naphthylmethoxy; or substituted 4-quinolinoxy.
53. The compound of formula IB according to claim 52, wherein R₁₃ is 1-naphthylmethoxy; 2-naphthylmethoxy; benzyloxy, 1-naphthyloxy; 2-naphthyloxy; or quinolinoxy unsubstituted, mono- or di-substituted with R₁₅ wherein R₁₅ is C₁₋₆ alkyl; C₁₋₆ alkoxy; amino; mono- or di-(lower alkyl)amino; amido optionally mono-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, Het or (lower alkyl)-Het; NO₂; OH; halo; trifluoromethyl; carboxyl; C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with R₁₆, wherein R₁₆ is C₁₋₆ alkyl; C₁₋₆ alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; NO₂; OH; halo; trifluoromethyl; or carboxyl.
54. The compound of formula IB according to claim 53, wherein R₁₃ is 1-naphthylmethoxy; or quinolinoxy unsubstituted, mono- or di-substituted with R₁₅ as defined in claim 53.
55. The compound of formula IB according to claim 54, wherein R₁₃ is :



wherein R_{15A} is amido optionally mono-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl or Het; or C₆ or C₁₀ aryl or Het optionally substituted with R₁₆, R_{15B} is C₁₋₆ alkyl; C₁₋₆ alkoxy; amino; di(lower alkyl)amino; (lower alkyl)amide; NO₂; OH; halo; trifluoromethyl; or carboxyl, and R₁₆ is amino; di(lower alkyl)amino; or (lower alkyl)amide.

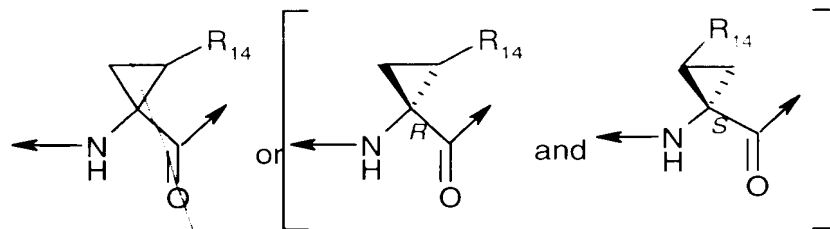
56. The compound of formula IB according to claim 55, wherein R_{15A} is C₆ or C₁₀ aryl or Het, all optionally substituted with R₁₆, R_{15B} is C₁₋₆ alkoxy; or di(lower alkyl)amino, and R₁₆ is as defined in claim 55.

57. The compound of formula IB according to claim 56, wherein R_{15A} is C_6 or C_{10} aryl or Het, all unsubstituted, R_{15B} is methoxy, and R_{16} is amino; dimethylamino; or acetamido.
58. The compound of formula IB according to claim 45, wherein ~~the~~ P1 ~~segment~~ is a cyclopropyl ring system of formula:

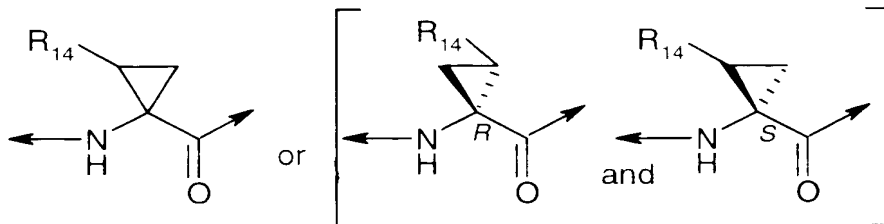


wherein R_{14} is as defined in claim 45.

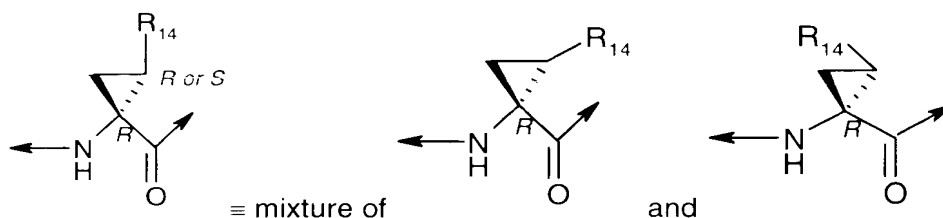
59. The compound of formula IB according to claim 58, wherein ~~said~~ P1 ~~segment~~ exists as a racemic mixture of diastereoisomers wherein R_{14} at position 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



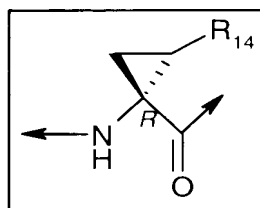
60. The compound of formula IB according to claim 58, wherein ~~said~~ P1 ~~segment~~ exists as a racemic mixture of diastereoisomers wherein R_{14} at position 2 is orientated *anti* to the carbonyl at position 1, represented by the radical:



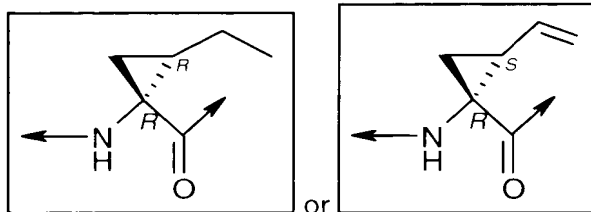
61. The compound of formula IB according to claim 58, wherein ~~said asymmetric carbon at position 1~~ has the *R* configuration:



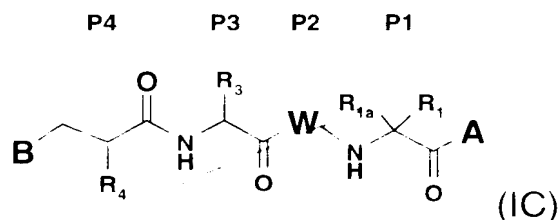
62. The compound of formula IB according to claim 61, wherein said R_{14} substituent and said carbonyl are in *syn* orientation in the following absolute configuration:



63. The compound of formula IB according to claim 61, wherein said R_{14} is methyl, ethyl, propyl, vinyl, allyl, benzyl, phenylethyl or phenylpropyl, ~~all~~ of which optionally substituted with halo.
64. The compound of formula IB according to claim 61, wherein R_{14} is ethyl, propyl, vinyl, bromovinyl or allyl.
65. Most preferably, R_{14} is ethyl, vinyl or bromovinyl.
66. The compound of formula IB according to claim 61, wherein P1 is



67. A compound of formula IC including racemates, diastereoisomers and optical isomers :



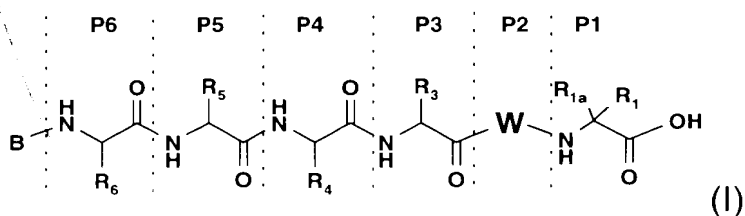
wherein B is as defined in claim 1, paragraph a);

R_4 , R_3 , W, R_{1a} , R_1 , and A are as defined in claim 1.

68. The compound of formula IC according to claim 67, wherein B is an amide of formula $R_{11a}N(R_{11b})C(O)-$ wherein R_{11a} is preferably C_{1-6} alkyl; C^{3-6} cycloalkyl; C_{3-7}

(alkylcycloalkyl) optionally substituted with carboxy; C₁₋₃ carboxyalkyl; C₆ aryl; C₇₋₁₀ arylalkyl; 2-tetrahydrofuranylmethyl; or 2-thiazolidymethyl; and R_{11b} is preferably C₁₋₄ alkyl substituted with carboxyl.

69. The compound of formula (IC) according to claim 68, wherein R_{11a} is cyclopropylmethyl, isopropyl, carboxyethyl, benzylmethyl, benzyl, or 2-tetrahydrofuranylmethyl.
70. The compound of formula (IC) according to claim 69, wherein R_{11b} is C₁₋₄ alkyl substituted with carboxyl.
71. The compound of formula (IC) according to claim 70, wherein R_{11b} is ethyl carboxyl.
72. A compound of formula (I):

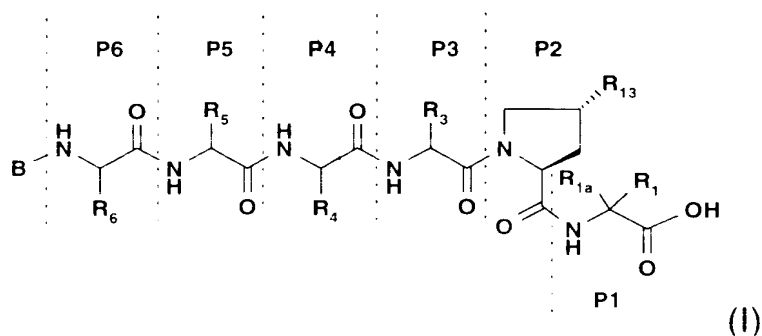


wherein B, P6, P5, P4, P3, W and P1 are as defined below, said compound selected from the group consisting of:

Comp	B	P6	P5	P4	P3	W	P1
101	Ac	Asp	Asp	Ile	Val	Pro	Cys;
102	Ac	Glu	Asp	Ile	Val	Pro	Cys;
103	DAD	---	Asp	Ile	Val	Pro	Cys;
104	Ac	Asp	D-Asp	Ile	Val	Pro	Cys;
105	Ac	Asp	D-Glu	Ile	Val	Pro	Cys;
106	Ac	Asp	Glu	Ile	Val	Pro	Cys;
107	Ac	Asp	Val	Ile	Val	Pro	Cys;
108	Ac	Asp	Tbg	Ile	Val	Pro	Cys;
109	Ac	Asp	Asp	Val	Val	Pro	Cys;
110	Ac	Asp	Asp	Chg	Val	Pro	Cys;
111	Ac	Asp	Asp	Tbg	Val	Pro	Cys;
112	Ac	Asp	Asp	Leu	Val	Pro	Cys;
113	Ac	Asp	Asp	Ile	Ile	Pro	Cys;
114	Ac	Asp	Asp	Ile	Chg	Pro	Cys;
115	Ac	Asp	Asp	Ile	Val	Abu	Cys;
116	Ac	Asp	Asp	Ile	Val	Leu	Cys;

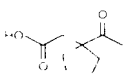
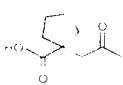
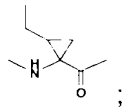
Comp	B	P6	P5	P4	P3	W	P1
117	Ac	Asp	Asp	Ile	Val	Phe	Cys;
118	Ac	Asp	Asp	Ile	Val	Val	Cys;
119	Ac	Asp	Asp	Ile	Val	Ile	Cys;
120	Ac	Asp	Asp	Ile	Val	Ala	Cys;
121	Ac	Asp	Asp	Ile	Val	Hyp(4-Bn)	Cys;
122	Ac	Asp	Asp	Ile	Val	Pro	Abu;
123	Ac	Asp	Asp	Ile	Val	Pro	Nva;
124	Ac	Asp	Asp	Ile	Val	Pro	AlGly;
125	Ac	Asp	Asp	Ile	Val	Pro	Acpe;
126	Ac	Asp	Asp	Ile	Val	Pro	Acca;
127	Ac	Asp	Asp	Ile	Val	Pip	Nva;
128	Ac	Asp	D-Glu	Ile	Val	Pro	Nva;
129	Ac	Asp	Tbg	Ile	Val	Pro	Nva;
130	DAD	---	Asp	Ile	Val	Pro	Nva;
131	Ac	Asp	Glu	Chg	Glu	Glu	Cys;
132	Ac	Asp	D-Glu	Chg	Glu	Glu	Acca;
and							
133	Ac	Asp	Glu	Chg	Val	Glu(OBn)	Acca.

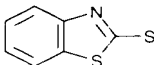
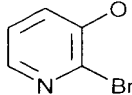
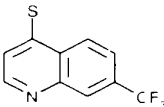
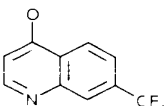
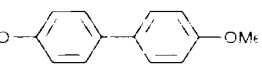
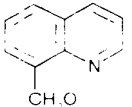
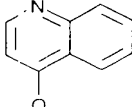
73. A compound of formula (I):

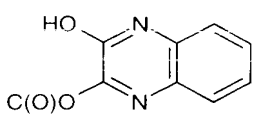
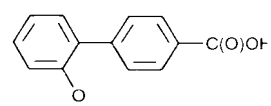
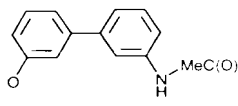
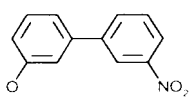
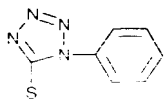
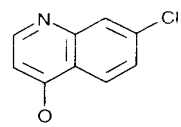
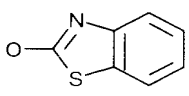
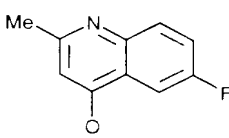
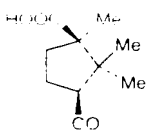
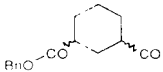


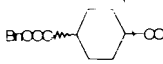
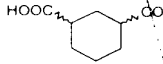
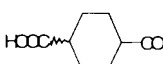
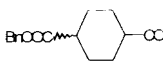
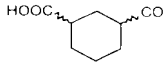
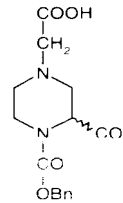
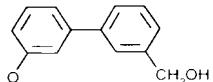
wherein B, P6, P5, P4, P3, R₁₃ and P1 are as defined below, said compound selected from the group consisting of:

Comp.	B	P6	P5	P4	P3	R ₁₃	P1
201	Ac	Asp	Asp	Ile	Val	O-Bn	Nva;
202	Ac	Asp	D-Val	Ile	Val	O-Bn	Nva;

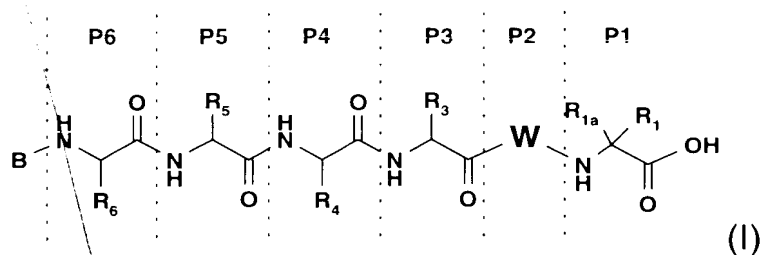
Comp.	B	P6	P5	P4	P3	R ₁₃	P1
203	Ac	Asp	D-Glu	Ile	Val	O-Bn	Nva;
204	Ac	Asp	Asp	Ile	Val	o-tolyl-methoxy	Nva;
205	Ac	Asp	Asp	Ile	Val	m-tolyl-methoxy	Nva;
206	Ac	Asp	Asp	Ile	Val	p-tolyl-methoxy	Nva;
207	Ac	Asp	Asp	Ile	Val	1-NpCH ₂ O	Nva;
208	Ac	Asp	Asp	Ile	Val	2-NpCH ₂ O	Nva;
209	Ac	Asp	Asp	Ile	Val	4-tert-butyl-phenyl)-methoxy	Nva;
210	Ac	Asp	D-Glu	Chg	Val	O-Bn	Cys;
211	Ac	Asp	D-Glu	Chg	Val	O-Bn	Nva;
212	Ac	Asp	D-Glu	Ile	Val	O-Bn	Acca;
213	Ac	Asp	D-Glu	Ile	Val	2-NpCH ₂ O	Nva;
214	Ac	Asp	D-Glu	Chg	Val	2-NpCH ₂ O	Nva;
215	Ac	Asp	D-Glu	Chg	Val	1-NpCH ₂ O	Acca;
216	Ac	Asp	Asp	Ile	Val	Bn	Nva;
217	Ac	Asp	Asp	Ile	Val	Ph(CH ₂) ₃	Nva;
218	Ac	Asp	D-Glu	Ile	Val	O-Bn	Nva;
219	Ac	---	Asp	Ile	Val	1-NpCH ₂ O	Nva;
220	DAD	---	---	N(Me)Ile	Val	1-NpCH ₂ O	Nva;
221	DAD	---	---	Ile	Val	1-NpCH ₂ O	Nva;
222	DAE	---	---	Ile	Val	1-NpCH ₂ O	Nva;
223		---	---	Ile	Val	1-NpCH ₂ O	Nva;
224		---	---	Ile	Val	1-NpCH ₂ O	Nva;
225	Ac	---	---	Ile	Val	1-NpCH ₂ O	Nva;
226	DAE	---	---	Chg	Val	1-NpCH ₂ O	Acca;
227	Ac	---	---	Chg	Val	1-NpCH ₂ O	Acca;
228	Ac	---	---	Chg	Val	O-Bn	 ;
230	Ac	Asp	Asp	Ile	Val	Ph(CH ₂) ₃	Nva;

Comp.	B	P6	P5	P4	P3	R ₁₃	P1
231	Ac	---	---	Chg	Chg	1-NpCH ₂ O	Acca;
232	AcOCH ₂ - C(O)	---	---	Chg	Chg	1-NpCH ₂ O	Acca;
233	Ac	Asp	Glu	Ile	Val	(3I-Ph)CH ₂ O	Acca;
234	Ac	---	---	Chg	Chg	O-Bn	Acca;
235	Boc	---	---	Chg	Chg	1-NpCH ₂ O	Acca;
236	Ac	---	Gly	thioxo-Ile	Val	1-NpCH ₂ O	Nva;
237	DAE	---	---	Ile	Val	1-NpCH ₂ O	Acca;
238	Ac	---	---	Chg	Val	(4Br-Ph)O	Acca;
239	Ac	---	---	Chg	Val	(2Br-Ph)O	Acca;
240	Ac	---	---	Chg	Val	(3Br-Ph)O	Acca;
241	Ac	---	---	Chg	Val		Acca;
242	Ac	---	---	Chg	Val	(4Br-Ph)S	Acca;
243	Ac	---	---	Chg	Val		Acca;
244	Ac	---	---	Chg	Val		Acca;
245	Ac	---	---	Chg	Val		Acca;
246	Ac	---	---	Chg	Val		Acca;
247	Ac	Asp	Asp	Ile	Val	Ph(CH ₂) ₂	Nva;
248	Ac	---	---	Chg	Chg		Acca;
249	Ac	---	---	Chg	Val	(4I-Ph)O	Acca;
250	Ac	---	---	Chg	Val		Acca;

Comp.	B	P6	P5	P4	P3	R ₁₃	P1
251	Ac	---	---	Chg	Val		Acca;
252	Ac	---	---	Chg	Val	1-NpCH ₂ O	Nva;
253	Ac	---	---	Chg	Val		Acca;
254	Ac	---	---	Chg	Val		Acca;
255	Ac	---	---	Chg	Val		Acca;
256	Ac	---	---	Chg	Val		Acca;
257	Ac	---	---	Chg	Val		Acca;
258	Ac	---	---	Chg	Val		Acca;
259	Ac	---	---	Chg	Val		Acca;
260	Ac	Asp	D-Glu	Ile	Val	O-Bn	Cys;
261	Ac	---	---	Chg	Val	O-Bn	Cys;
262	Ac	---	---	Ile	Val	1-NpCH ₂ O	Acca;
263		---	---	Ile	Val	1-NpCH ₂ O	Acca;
264		---	---	Ile	Val	1-NpCH ₂ O	Acca;

Comp.	B	P6	P5	P4	P3	R ₁₃	P1
265		---	---	Ile	Val	1-NpCH ₂ O	Acca;
266		---	---	Ile	Val	1-NpCH ₂ O	Acca;
267		---	---	Ile	Val	1-NpCH ₂ O	Acca;
268	Ac	---	---	Chg	Val	(3Br-Ph)CH ₂ O	Acca;
269		---	---	Chg	Val	1-NpCH ₂ O	Acca;
270		---	---	Chg	Val	1-NpCH ₂ O	Acca;
271		---	---	Chg	Val	1-NpCH ₂ O	Acca;
272	Ac	---	---	Chg	Val	(3,5-Br ₂ -Ph)CH ₂ O	Acca;
273	Ac	Asp	Asp	Ile	Val	H	Nva;
274	Ac	Asp	D-Val	Ile	Val	H	Cys;
and							
275	Ac	---	---	Chg	Val		Acca.

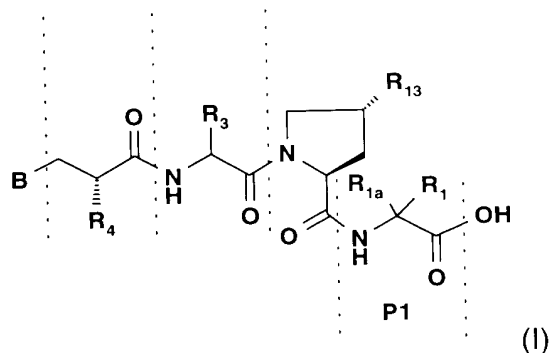
74. A compound of formula (I):



wherein B, P6, P5, P4, P3, W and P1 are as defined below, said compound selected from the group consisting of:

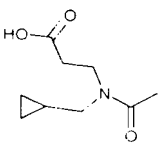
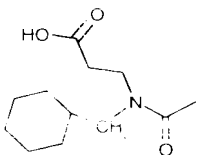
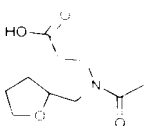
Comp	B	P6	P5	P4	P3	W	P1
301	Ac	Asp	Asp	Ile	Val		Nva;
302	Ac	Asp	Asp	Ile	Val		Nva;
303	Ac	Asp	Asp	Ile	Val		Nva;
and							
304	Ac	---	---	Chg	Val		Acca.

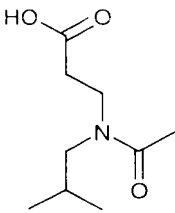
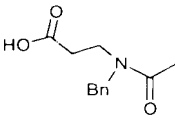
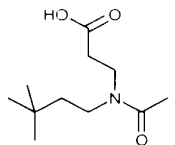
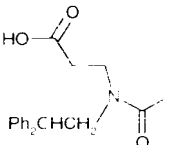
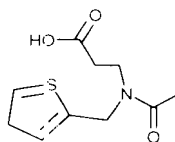
75. A compound of formula (I):

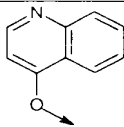
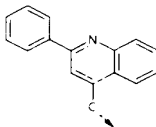
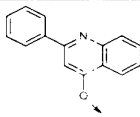


wherein B, R₄, P₃, R₁₃, and P₁ are as defined below, said compound selected from the group consisting of:

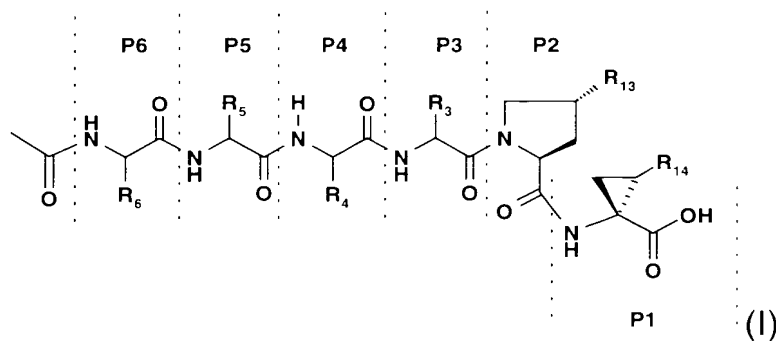
Comp.	B	R ⁴	P ₃	R ₁₃	P ₁
401		cyclohexyl	Val	1-NpCH ₂ O	Acca;
402		cyclohexyl	Val	1-NpCH ₂ O	Acca;
403		cyclohexyl	Val	1-NpCH ₂ O	Acca;
404		cyclohexyl	Val	1-NpCH ₂ O	Acca;
405	HOOC-CH ₂ CH ₂ - N(Me)C(O)-	cyclohexyl	Val	1-NpCH ₂ O	Acca;
406	MeOOC-CH ₂ - CH ₂ -N(Me)c(O)-	cyclohexyl	Val	1-NpCH ₂ O	Acca;

Comp.	B	R ⁴	P3	R ₁₃	P1
407	HOOC-CH ₂ CH ₂ - N(Me) ₂ -C(O)-	cyclohexyl	Val	1-NpCH ₂ O	Acca;
408	MeOOC-(CH ₂) ₂ - N(Me) ₂ -C(O)-	cyclohexyl	Val	1-NpCH ₂ O	Acca;
409	HOOC-CH ₂ - N(Me) ₂ -C(O)-	cyclohexyl	Val	1-NpCH ₂ O	Acca;
410	EtOOC-CH ₂ - N(Me) ₂ -C(O)-	cyclohexyl	Val	1-NpCH ₂ O	Acca;
411	[HOOC-(CH ₂) ₂] ₂ - NH-CH ₂ -	cyclohexyl	Val	1-NpCH ₂ O	Acca;
412	[HOOC-CH ₂] ₂ - NC(O)-	cyclohexyl	Val	1-NpCH ₂ O	Acca;
413	[HOOC-(CH ₂) ₂] ₂ - NC(O)-	cyclohexyl	Val	1-NpCH ₂ O	Acca;
414		cyclohexyl	Val	1-NpCH ₂ O	Acca;
415		cyclohexyl	Val	1-NpCH ₂ O	Acca;
416		cyclohexyl	Val	1-NpCH ₂ O	Acca,

Comp.	B	R ⁴	P3	R ₁₃	P1
417		cyclohexyl	Val	1-NpCH ₂ O	Acca;
418		cyclohexyl	Val	1-NpCH ₂ O	Acca;
419		cyclohexyl	Val	1-NpCH ₂ O	Acca;
420		cyclohexyl	Val	1-NpCH ₂ O	Acca;
and 421		cyclohexyl	Val	1-NpCH ₂ O	Acca.

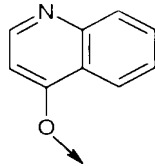
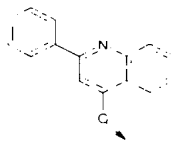
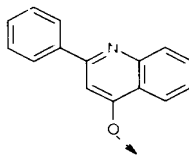
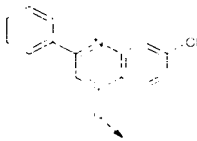
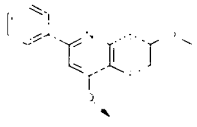
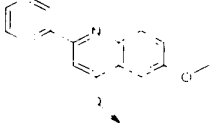
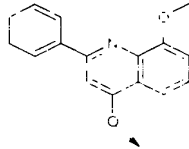
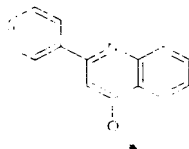
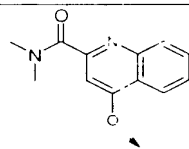
Tab.5 Cpd	B	P6	P5	P4	P3	R ₁₃	R ₁₄	P1 C ₁ - C ₂
518	Ac	Asp	D-Glu	Chg	Val	1-NpCH ₂ O	Et	1 <i>R</i> , 2 <i>R</i>
519	Ac	---	---	Chg	Val	1-NpCH ₂ O	Pr	1 <i>R</i> , 2?
520	Ac	---	---	Chg	Val	1-NpCH ₂ O	Pr	1 <i>R</i> , 2?
521	Ac	Asp	D-Val	Chg	Val	1-NpCH ₂ O	Et	1 <i>R</i> , 2 <i>R</i>
522	Ac	---	---	Chg	Val		vinyl	1 <i>S</i> , 2 <i>R</i>
523	Ac	---	---	Chg	Val		ethyl	1 <i>R</i> , 2 <i>S</i>
524	Ac	---	---	Chg	Val		propyl	1 <i>R</i> , 2 <i>R</i>

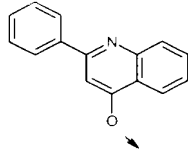
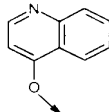
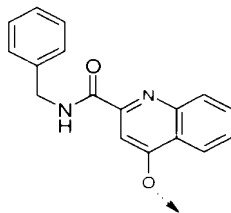
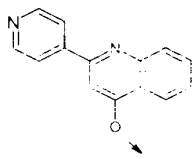
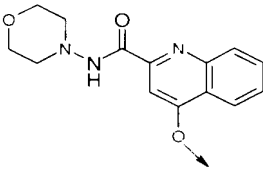
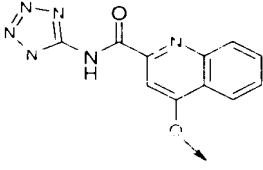
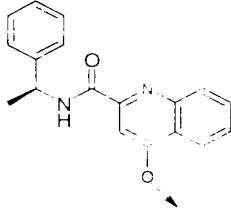
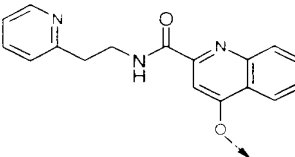
77. A compound of formula (I):

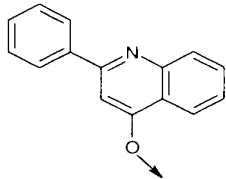
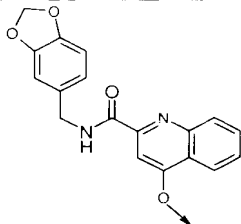
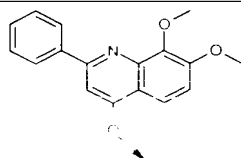
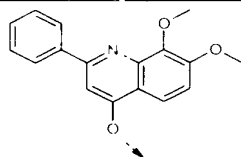
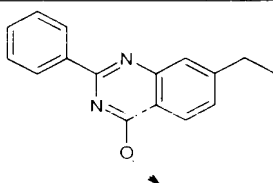
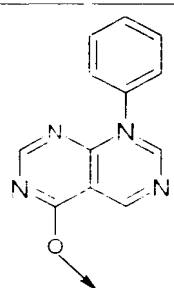
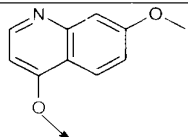


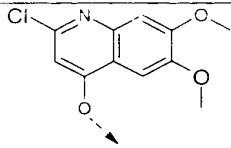
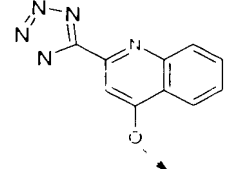
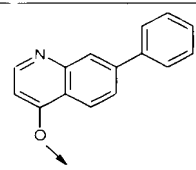
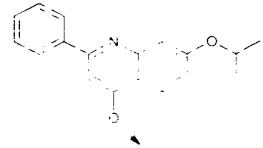
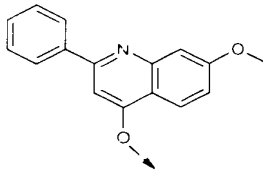
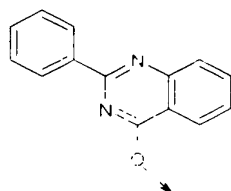
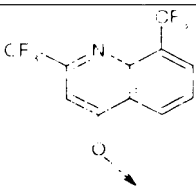
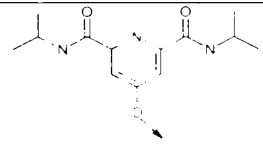
wherein P6, P5, P4, P3, R₁₃, and R₁₄ are as defined below, said compound selected from the group consisting of:

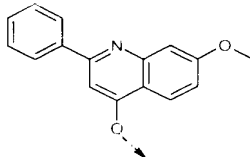
Tab 6 Cpd#	P6	P5	P4	P3	R ₁₃	R ₁
601	---	---	Chg	Val	OBn	CH=CH ₂
602	---	---	Chg	Chg	1-NpCH ₂ O	CH=CH ₂
603	---	---	Chg	Val	1-NpCH ₂ O	CH=CH ₂
604	---	---	Chg	Val	OBn	CH=CHBr*

Tab 6 Cpd#	P6	P5	P4	P3	R ₁₃	R ₁
605	---	---	Chg	Val		CH=CH ₂
606	---	---	Chg	Val		CH=CH ₂
607	---	---	Chg	Tbg		CH=CH ₂
608	---	---	Chg	Val		CH=CH ₂
609	---	---	Chg	Val		CH=CH ₂
610	---	---	Chg	Val		CH=CH ₂
611	---	---	Chg	Val		CH=CH ₂
612	Asp	D-Glu	Chg	Val		CH=CH ₂
613	---	---	Chg	Val		CH=CH ₂

Tab 6 Cpd#	P6	P5	P4	P3	R ₁₃	R ₁
614	---	---	Chg	Val		ethyl
615	---	---	Val	Chg		CH=CH ₂
616	---	---	Chg	Val		CH=CH ₂
617	---	---	Chg	Val		CH=CH ₂
618	---	---	Chg	Val		CH=CH ₂
619	---	---	Chg	Val		CH=CH ₂
620	---	---	Chg	Val		CH=CH ₂
621	---	---	Chg	Val		CH=CH ₂

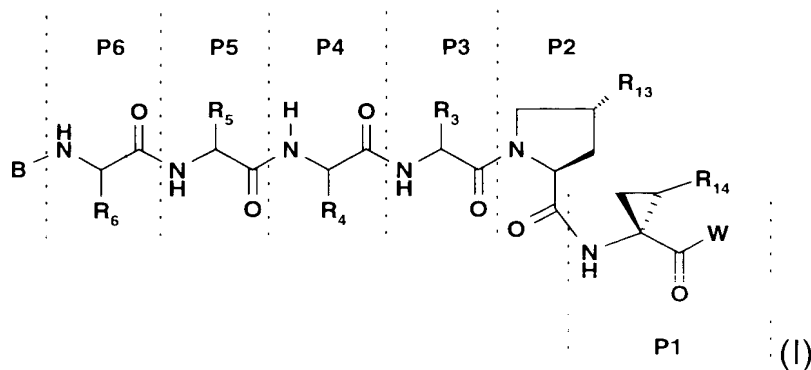
Tab 6 Cpd#	P6	P5	P4	P3	R ₁₃	R ₁
622	Asp	D-Glu	Chg	Tbg		CH=CH ₂
623	---	---	Chg	Val		CH=CH ₂
624	---	---	Chg	Tbg		CH=CH ₂
625	---	---	Chg	Val		CH=CH ₂
626	---	---	Chg	Val		CH=CH ₂
627	---	---	Chg	Val		CH=CH ₂
628	---	---	Chg	Tbg		CH=CH ₂

Tab 6 Cpd#	P6	P5	P4	P3	R ₁₃	R ₁
629	---	---	Chg	Val		CH=CH ₂
630	---	---	Chg	Val		CH=CH ₂
631	---	---	Chg	Tbg		CH=CH ₂
632	---	---	Chg	Tbg		CH=CH ₂
633	---	---	Chg	Tbg		CH=CH ₂
634	---	---	Chg	Tbg		CH=CH ₂
635	---	---	Chg	Val		vinyl
636	Asp	D-Glu	Ile	Val	O-Bn	vinyl
637	---	---	Chg	Val		vinyl

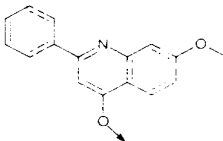
Tab 6 Cpd#	P6	P5	P4	P3	R ₁₃	R ₁
638	Asp	D-Glu	Chg	Tbg		vinyl

* Br isomer ratio 5.5:2

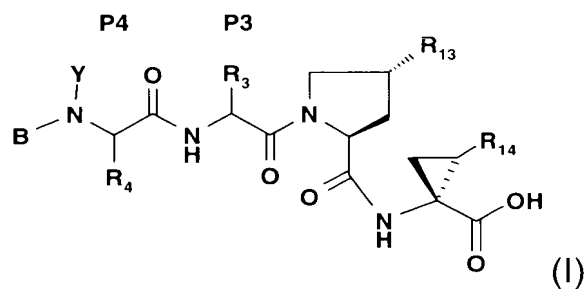
78. A compound of formula (I):



wherein B, P6, P5, P4, P3, R₁₃, and R₁₄ are as defined below, said compound selected from the group consisting of:

Tab.7 Cpd#	B	P6	P5	P4	P3	R ₁₃	R ₁₄	W
701	Ac	Asp	D-Glu	Ile	Val	OBn	Et	NH-(S)- CHMePh
702	Dnl	Asp	D-Glu	Chg	Tbg		vinyl	OH

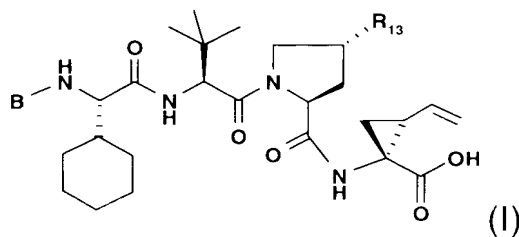
79. A compound of formula (I):



wherein B, Y, P4, P3, R₁₃, and R₁₄ are as defined below, said compound selected from the group consisting of:

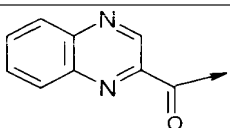
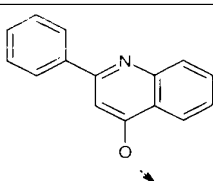
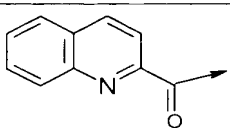
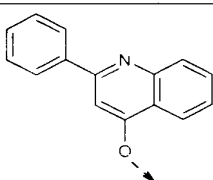
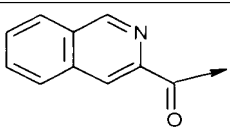
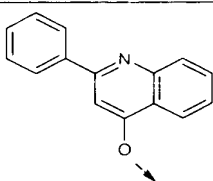
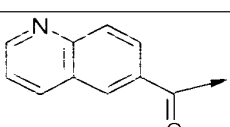
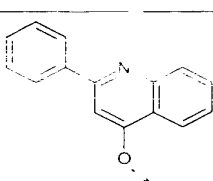
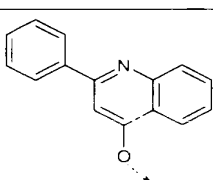
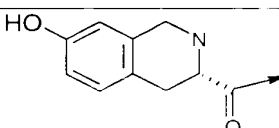
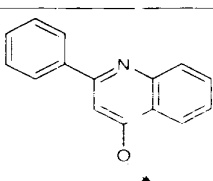
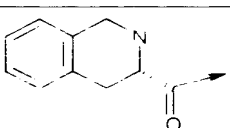
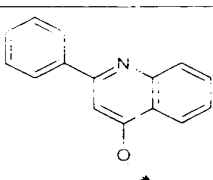
Tab 8 Cpd#	B	Y	P4	P3	R ₁₃	R ₁₄
801	Ac	Me	Chg	Tbg		vinyl

80. A compound of formula (I):



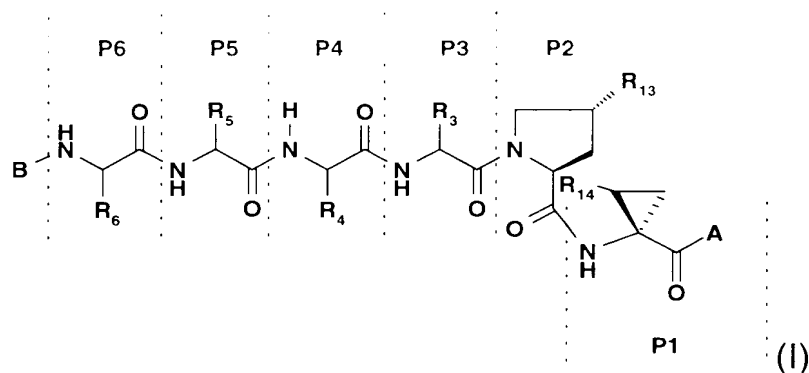
wherein B and R₁₃ are as defined below, said compound selected from the group consisting of:

Tab 9 cpd#	B	R ₁₃
901		

Tab 9 cpd#	B	R ₁₃
902		
903		
904		
905		
906	H	
907		
908		

Tab 9 cpd#	B	R ₁₃
909	H	
910		
911	Dnl	

81. A compound of formula (I):

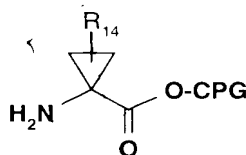


wherein B, P6, P5, P4, P3, R₁₃, R₁₄, P1 and A are as defined below, said compound selected from the group consisting of:

Tab. 10 Comp.	B	P6	P5	P4	P3	R ₁₃	R ₁₄	P1 C ₁ - C ₂	A
1001	Ac	Asp	D-Glu	Ile	Val	OBn	Et	1S,2S	NH-(S)- CHMePh
1002	Ac	Asp	D-Glu	Ile	Val	OBn	Et	1S,2S	NH-(R)- CHMePh

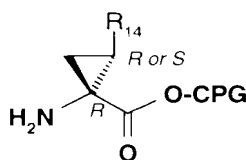
82. A hexapeptide of formula I according to claim 76, selected from the group consisting of compound #:508; 516; 517; and 520.

83. A hexapeptide of formula I according to claim 77, selected from the group consisting of compound #: 612; 622; 636; and 638.
84. A hexapeptide of formula I according to claim 78, selected from the group consisting of compound #: 701 and 702.
85. A tetrapeptide of formula I according to claim 76 selected from the group consisting of compound #: 522; and 523.
86. A tetrapeptide of formula I according to claim 77, selected from the group consisting of compound #: 602; 603; 605; 606; 607; 608; 609; 610; 611; 614; 615; 616; 618; 619; 620; 621; 623; 624; 625; 626; 628; 629; 630; 631; 632; 633; 634; 635.
87. A tetrapeptide of formula I according to claim ~~78~~⁷⁹, selected from the group consisting of compound #: 801.
88. A tetrapeptide of formula I according to claim ~~79~~⁸⁰, selected from the group consisting of compound #: 901; 902; 903; 904; 905; 906; 907; 908; 909; 910; and 911.
89. A process for the preparation of a peptide analog of formula (I) according to claim 1, wherein P1 is a substituted aminocyclopropyl carboxylic acid residue, comprising the step of:
- coupling a peptide selected from the group consisting of: APG-P6-P5-P4-P3-P2; APG-P5-P4-P3-P2; APG-P4-P3-P2; APG-P3-P2; and APG-P2;
 - with a P1 intermediate of formula:



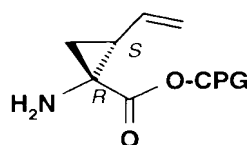
wherein R_{14} is C_{1-6} alkyl or C_{2-6} alkenyl optionally substituted with halogen, CPG is a carboxyl protecting group and P6 to P2 are as defined in claim 1.

90. A process for the preparation of a peptide analog of formula (I) according to claim 1, wherein P1 is a substituted aminocyclopropyl carboxylic acid residue, comprising the step of:
- coupling a peptide selected from the group consisting of: APG-P6-P5-P4-P3-P2; APG-P5-P4-P3-P2; APG-P4-P3-P2; APG-P3-P2; and APG-P2;
 - with a P1 intermediate of formula:



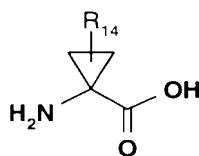
wherein R_{14} is ethyl, vinyl or bromovinyl, CPG is a carboxyl protecting group and P6 to P2 are as defined in claim 1.

91. A process for the preparation of a peptide analog of formula (I) according to claim 1, wherein P1 is a substituted aminocyclopropyl carboxylic acid residue, comprising the step of:
- coupling a peptide selected from the group consisting of: APG-P6-P5-P4-P3-P2; APG-P5-P4-P3-P2; APG-P4-P3-P2; APG-P3-P2; and APG-P2;
 - with a P1 intermediate of formula:



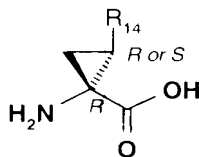
wherein CPG is a carboxyl protecting group and P6 to P2 are as defined in claim 1.

92. The process according to claim 89, 90 or 91 wherein said carboxyl protecting group (CPG) is selected from the group consisting of:
alkyl esters, aralkyl esters, and esters being cleavable by mild base treatment or mild reductive means.
93. Use of an amino acid analog of formula:



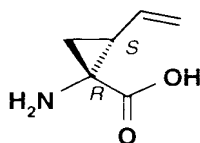
wherein R_{14} is C_{1-6} alkyl or C_{2-6} alkenyl optionally substituted with halogen, for the preparation of a compound of formula I according to claim 1.

94. Use of an amino acid analog of formula:



wherein R_{14} is ethyl, vinyl or bromovinyl, for the preparation of a compound of formula I according to claim 1.

95. Use of an amino acid analog of formula:



for the preparation of a compound of formula I according to claim 1.

96. A pharmaceutical composition comprising an anti-hepatitis C virally effective amount of a compound of formula I according to claim 1, or a ~~therapeutically~~ *pharmaceutically* acceptable salt or ester thereof, in admixture with a pharmaceutically acceptable carrier medium or auxiliary agent.
97. A method of treating a hepatitis C viral infection in a mammal by administering to the mammal an anti-hepatitis C virally effective amount of the compound of formula I according to claim 1, or a ~~therapeutically~~ acceptable salt or ester thereof.
98. A method for inhibiting the replication of hepatitis C virus by exposing the virus to a hepatitis C viral NS3 protease inhibiting amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof.
99. A pharmaceutical combination comprising a compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, and an interferon in admixture with a pharmaceutically acceptable carrier medium or auxiliary agent.